ABSTRACT

The present invention provides cytochrome P450 3A (CYP3A) inhibitors and enhancers. Examples of the CYP3A inhibitors include free bases or pharmacologically acceptable salts of at least one of the following compounds: α-naphthoflavone, β-naphthoflavone, apigenin, baicalein, β-myrcene, catechin, 3-phenylpropyl acetate, formononetin, gallic acid, hesperetin, hesperidin, isoquercitrin, lauryl alcohol, luteolin, luteolin-7-glycoside, narigin, nordihydroguaiaretic acid, quercitrin, swertiamarin, terpineol, and trans-cinnamaldehyde. Examples of the CYP3A enhancers include free bases or pharmacologically acceptable salts of at least one of the following compounds: apigenin, formononetin, and luteolin-7-glycoside. The CYP3A inhibitors can be used, alone or co-administered with a drug, to improve the drug bioavailability. The CYP3A inhibitors can also be used as chemopreventors to prevent biotransformation of procarcinogenic compounds into carcinogens via CYP3A activity or for treatment of intestinal or hepatic cancer by inhibit the CYP3A activity. The CYP3A enhancers can be used to improve the enzymatic activity of CYP3A so as to improve the biotransformation and degradation of active drugs or the sustrates of CYP3A from the body. The CYP3A inhibitors and enhancers of the present invention are natural substances extracted from herbs and non-toxic.